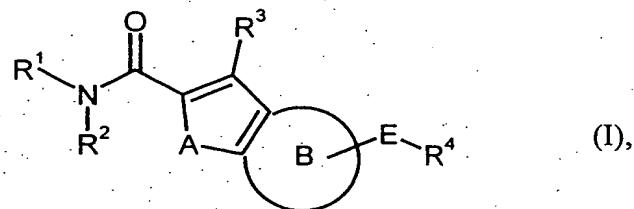


Patent Claims

1. Compounds of the formula



5

in which

10

R^1 is 1-azabicyclo[2.2.2]oct-3-yl, which is optionally substituted via the nitrogen atom by a radical selected from the group of C_1 - C_4 -alkyl, benzyl and oxy,

R^2 is hydrogen or C_1 - C_6 -alkyl,

15

R^3 is hydrogen, halogen or C_1 - C_6 -alkyl,

R^4 is hydrogen, halogen, cyano, amino, trifluoromethyl, trifluoromethoxy, C_1 - C_6 -alkyl, C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkylamino, formyl, hydroxycarbonyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkoxycarbonyl, C_1 - C_6 -alkylthio, C_1 - C_6 -alkylcarbonylamino, C_1 - C_6 -alkylaminocarbonyl, C_1 - C_4 -alkylsulphonylamino, C_3 - C_8 -cycloalkylcarbonylamino, C_3 - C_6 -cycloalkylaminocarbonyl, pyrrolyl, C_1 - C_6 -alkylaminocarbonylamino, heterocyclcarbonyl, heterocyclcarbonylamino, heteroarylcarbonylamino, hydroxyl, phenyl or heterocyclyl,

20

where C_1 - C_6 -alkyl may optionally be substituted by hydroxyl, cyano, amino, C_1 - C_6 -alkylaminocarbonylamino, C_1 - C_6 -alkylaminocarboxyl, heterocyclyl or aryl,
5 C_1 - C_6 -alkylaminocarbonyl may optionally be substituted by C_1 - C_6 -alkoxy or C_1 - C_6 -alkylamino,
 C_1 - C_6 -alkylcarbonylamino may optionally be substituted by C_1 - C_6 -alkoxy, and heterocyclyl may optionally be substituted by oxo,

10 A is oxygen or sulphur,

the ring B is benzo or pyrido, each of which are optionally substituted by radicals from the series halogen, cyano, formyl, trifluoromethyl, trifluoromethoxy, nitro, amino, C_1 - C_6 -alkyl and C_1 - C_6 -alkoxy,
15

and

20 E is $C\equiv C$, arylene and heteroarylene, where arylene and heteroarylene may be substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C_1 - C_6 -alkoxy and C_1 - C_6 -alkyl,

and the solvates, salts or solvates of the salts of these compounds.

25

2. Compounds according to Claim 1, of the formula (I), in which

R^1 is 1-azabicyclo[2.2.2]oct-3-yl,

30 R^2 is hydrogen or C_1 - C_4 -alkyl,

R³ is hydrogen, fluorine, chlorine, bromine or C₁-C₄-alkyl,

R⁴ is hydrogen, fluorine, chlorine, bromine, cyano, amino, trifluoromethyl, trifluoromethoxy, C₁-C₄-alkyl, C₁-C₄-alkylcarbonyl, C₁-C₄-alkylamino, formyl, hydroxycarbonyl, C₁-C₄-alkoxy, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkylthio, C₁-C₄-alkylcarbonylamino, C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkylsulphonylamino, C₃-C₆-cycloalkylcarbonylamino, C₃-C₆-cycloalkylaminocarbonyl, pyrrolyl, C₁-C₄-alkylaminocarbonylamino, heterocyclcarbonyl, heterocyclcarbonylamino, heteroarylcarbonylamino, hydroxyl, phenyl or heterocyclyl,

where C₁-C₄-alkyl may optionally be substituted by hydroxyl, cyano, amino, C₁-C₄-alkylaminocarbonylamino, C₁-C₄-alkylaminocarboxyl, heterocycl or aryl, C₁-C₄-alkylaminocarbonyl may optionally be substituted by C₁-C₄-alkoxy or C₁-C₄-alkylamino, C₁-C₄-alkylcarbonylamino may optionally be substituted by C₁-C₄-alkoxy, and heterocycl may optionally be substituted by oxo,

A is oxygen or sulphur;

the ring B is benzo or pyrido, each of which are optionally substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy and C₁-C₄-alkyl,

and

30

E is $C\equiv C$, arylene and heteroarylene, where arylene and heteroarylene may be substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C_1 - C_4 -alkoxy and C_1 - C_4 -alkyl,

5

and the solvates, salts or solvates of the salts of these compounds.

3. Compounds according to Claims 1 and 2, of the formula (I), in which

10 R^1 is 1-azabicyclo[2.2.2]oct-3-yl,

R^2 and R^3 are hydrogen,

15 R^4 is hydrogen, fluorine, chlorine, bromine, cyano, amino, trifluoromethyl, trifluoromethoxy, C_1 - C_4 -alkyl, C_1 - C_4 -alkylcarbonyl, C_1 - C_4 -alkylamino, formyl, hydroxycarbonyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxycarbonyl, C_1 - C_6 -alkylthio, C_1 - C_4 -alkylcarbonylamino, C_1 - C_4 -alkylaminocarbonyl, C_1 - C_4 -alkylsulphonylamino, C_3 - C_6 -cycloalkylcarbonylamino, C_3 - C_6 -cycloalkylaminocarbonyl, pyrrolyl, C_1 - C_4 -alkylaminocarbonylamino, heterocyclcarbonyl, heterocyclcarbonylamino, heteroarylcarbonylamino, hydroxyl, phenyl or heterocyclyl,

20

25

where C_1 - C_4 -alkyl may optionally be substituted by hydroxyl, cyano, amino, C_1 - C_4 -alkylaminocarbonylamino, C_1 - C_4 -alkylaminocarboxyl, heterocycl or aryl, C_1 - C_4 -alkylaminocarbonyl may optionally be substituted by C_1 - C_4 -alkoxy or C_1 - C_4 -alkylamino,

C_1 - C_4 -alkylcarbonylamino may optionally be substituted by C_1 - C_4 -alkoxy, and heterocyclyl may optionally be substituted by oxo,

5 A is oxygen,

the ring B is benzo or pyrido, each of which are optionally substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy and C_1 - C_4 -alkyl,

10 and

15 E is $C\equiv C$, arylene and heteroarylene, where arylene and heteroarylene may be substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C_1 - C_4 -alkoxy and C_1 - C_4 -alkyl,

and the solvates, salts or solvates of the salts of these compounds.

20 4. Compounds according to Claims 1 to 3, of the formula (I), in which

R^1 is 1-azabicyclo[2.2.2]oct-3-yl,

R^2 is hydrogen or C_1 - C_6 -alkyl,

25 R^3 is hydrogen, halogen or C_1 - C_6 -alkyl,

30 R^4 is hydrogen, halogen, cyano, amino, trifluoromethyl, trifluoromethoxy, C_1 - C_6 -alkyl, C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkylamino, formyl, hydroxycarbonyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkoxycarbonyl, C_1 - C_6 -

alkylthio, C_1 - C_6 -alkylcarbonylamino, C_1 - C_4 -alkylsulphonylamino, C_3 - C_8 -cycloalkylcarbonylamino, pyrrolyl, C_1 - C_6 -alkylaminocarbonylamino, heterocyclcarbonyl, phenyl or heterocycl,

5

where C_1 - C_6 -alkyl may optionally be substituted by hydroxyl, amino, C_1 - C_6 -alkylaminocarbonylamino, C_1 - C_6 -alkylaminocarboxyl, heterocycl or aryl,

10

C_1 - C_6 -alkylcarbonylamino may optionally be substituted by C_1 - C_6 -alkoxy, and

heterocycl may optionally be substituted by oxo,

A is oxygen or sulphur,

15

the ring B is benzo or pyrido, each of which are optionally substituted by radicals from the series halogen, cyano, formyl, trifluoromethyl, trifluoromethoxy, nitro, amino, C_1 - C_6 -alkyl and C_1 - C_6 -alkoxy,

20

and

25

E is $C\equiv C$, arylene and heteroarylene, where arylene and heteroarylene are optionally substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C_1 - C_6 -alkoxy and C_1 - C_6 -alkyl,

and the solvates, salts or solvates of the salts of these compounds.

5. Compounds of the formula (I) according to Claims 1 to 4, in which

30

R¹ is 1-azabicyclo[2.2.2]oct-3-yl,

R² is hydrogen or C₁-C₆-alkyl,

R³ is hydrogen, halogen or C₁-C₆-alkyl,

5

R⁴ is hydrogen, halogen, cyano, trifluoromethyl, trifluoromethoxy, C₁-C₆-alkyl, C₁-C₆-alkoxy or heterocyclyl, where alkyl is optionally substituted by a hydroxyl radical,

10

A is oxygen or sulphur,

the ring B is benzo or pyrido, each of which are optionally substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C₁-C₆-alkyl and C₁-C₆-alkoxy,

15

and

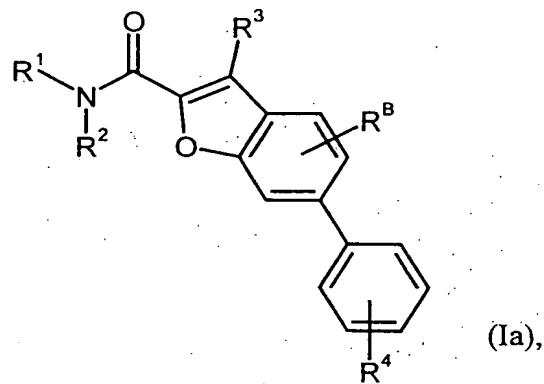
20

E is C≡C, arylene or heteroarylene, where arylene and heteroarylene are optionally substituted by radicals from the series halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C₁-C₆-alkyl and C₁-C₆-alkoxy,

and the solvates, salts or solvates of the salts of these compounds.

25

6. Compounds according to Claims 1 to 5, of the formula



in which

5 R¹ is (3*R*)-1-azabicyclo[2.2.2]oct-3-yl,

R² and R³ are, independently of one another, hydrogen or methyl,

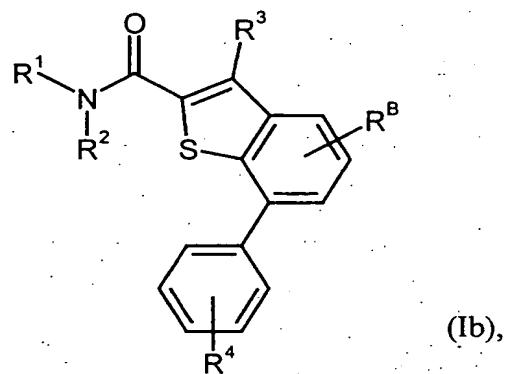
10 R⁴ is hydrogen, halogen, cyano, trifluoromethyl, trifluoromethoxy, C₁-C₆-alkyl, C₁-C₆-alkoxy or heterocyclyl, where alkyl is optionally substituted by a hydroxyl radical,

and

15 R^B is hydrogen, halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C₁-C₆-alkyl or C₁-C₆-alkoxy,

and the solvates, salts or solvates of the salts of these compounds.

20 7. Compounds according to Claims 1 to 6, of the formula



in which

5 R¹ is (3*R*)-1-azabicyclo[2.2.2]oct-3-yl,

R² and R³ are, independently of one another, hydrogen or methyl,

10 R⁴ is hydrogen, halogen, cyano, trifluoromethyl, trifluoromethoxy, C₁-C₆-alkyl, C₁-C₆-alkoxy or heterocyclyl, where alkyl is optionally substituted by a hydroxyl radical, and

15 R^B is hydrogen, halogen, cyano, trifluoromethyl, trifluoromethoxy, nitro, amino, C₁-C₆-alkyl and C₁-C₆-alkoxy,

and the solvates, salts or solvates of the salts of these compounds.

8. Compounds according to Claims 1 to 7, where

20 R¹ is (3*R*)-1-azabicyclo[2.2.2]oct-3-yl,

R² and R³ are hydrogen,

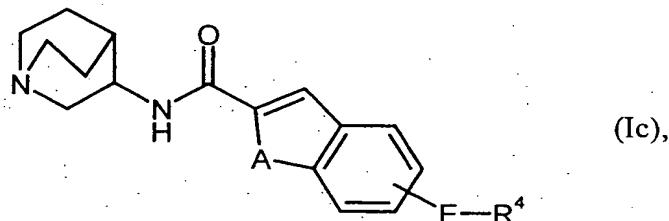
R^4 is hydrogen, fluorine, chlorine, bromine, trifluoromethoxy, hydroxymethyl, methoxy or 6-membered heterocyclyl and

R^B is hydrogen, halogen, cyano, trifluoromethyl, trifluoromethoxy or C_1 -
5 C_4 -alkyl,

and the solvates, salts or solvates of the salts of these compounds.

9. Compounds according to Claims 1 to 8, of the formula

10

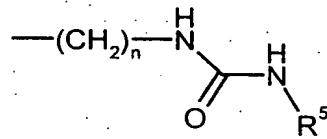


in which

E is phenylene,

15

R^4 is C_1 - C_6 -alkoxy, aminomethyl, hydroxycarbonyl, C_3 - C_8 -cycloalkyl-carbonylamino, a group of the formula



20

where

R^5 is C_1 - C_6 -alkyl,

n is zero, 1, 2, 3 or 4,

or

5 5- to 6-membered heterocyclyl which is optionally substituted by oxo,

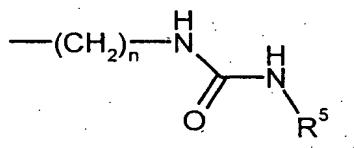
10 A is sulphur or oxygen,

and the solvates, salts or solvates of the salts thereof.

15 10. Compounds according to Claims 1 to 9, of the formula (Ic), in which

E is phenylene,

15 R⁴ is C₁-C₄-alkoxy, aminomethyl, hydroxycarbonyl, C₃-C₆-cycloalkyl-carbonylamino, a group of the formula



20 where

25 R⁵ is C₁-C₄-alkyl,

n is zero, 1 or 2,

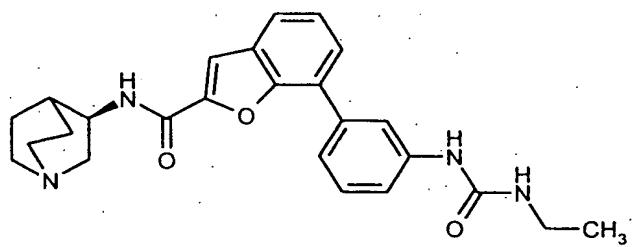
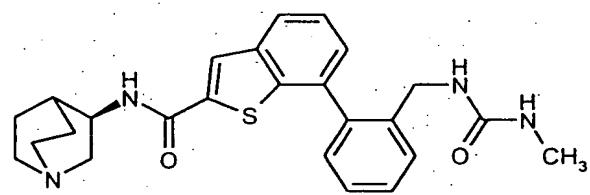
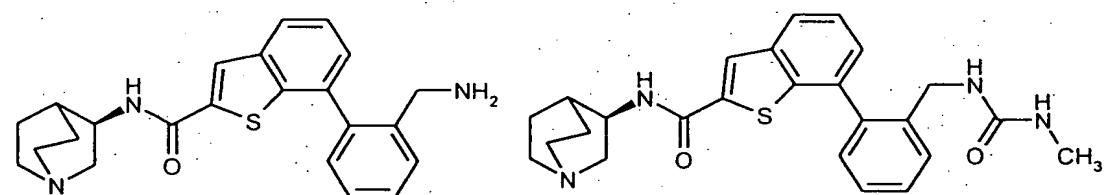
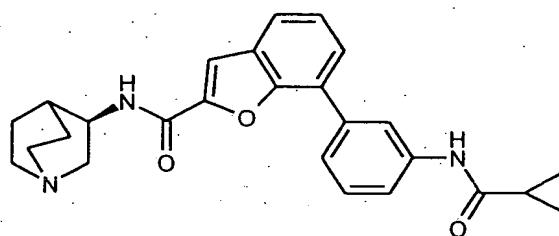
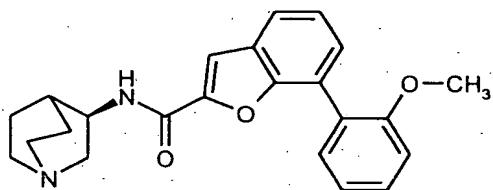
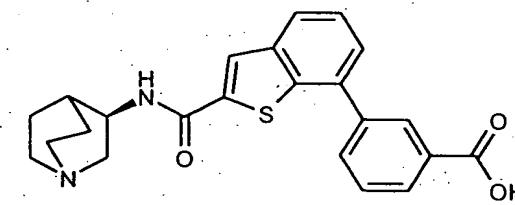
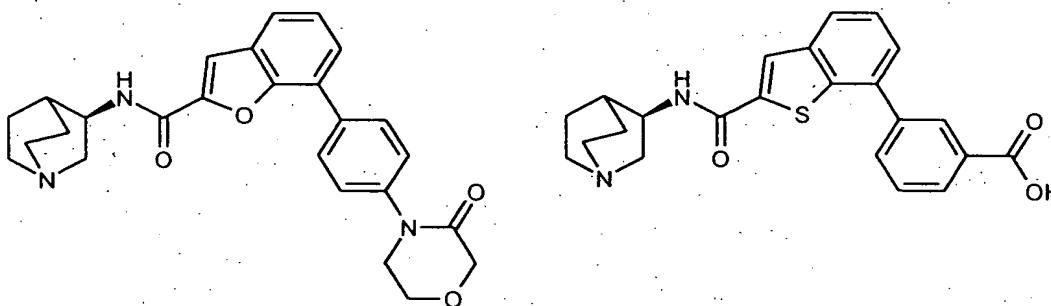
25 or

5- to 6-membered heterocyclyl which is optionally substituted by oxo,

A is sulphur or oxygen,

and the solvates, salts or solvates of the salts thereof.

5 11. Compounds according to Claims 1 to 10, of the following formulae



and the solvates, salts or solvates of the salts of these compounds.

12. Process for the preparation of the compounds of the formula (I), in which compounds of the formula

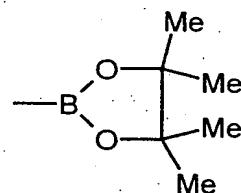
5

X^1-E-R^4 (II),

in which

10

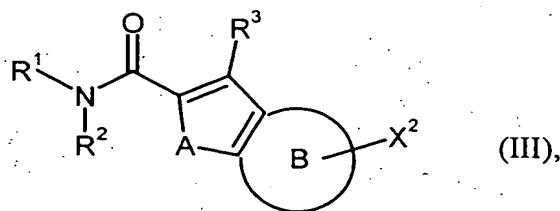
R^4 has the meanings indicated in Claim 1, and
 X^1 is $-B(OH)_2$ or



in the case where E is arylene or heteroarylene, and is hydrogen in the case where E is $-C\equiv C-$,

15

are reacted with a compound of the formula



in which

20

R^1 , R^2 , R^3 , A and the ring B have the meanings indicated in Claim 1, and

X^2 is triflate or halogen, preferably chlorine, bromine or iodine,

and where appropriate

[A] the resulting compounds (I) are alkylated on the quinuclidine nitrogen atom with appropriate alkylating reagents, or

5

[B] the resulting compounds (I) are oxidized on the quinuclidine nitrogen atom with suitable oxidizing agents,

and the resulting compounds (I) are converted into their solvates, salts or solvates of the salts where appropriate with the appropriate (i) solvents and/or (ii) bases or acids.

10

13. Process for the preparation of the compounds of the invention, in which compounds of the formula

15

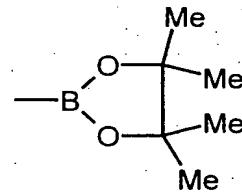
X^1-E-R^4 (II),

in which

R^4 has the meanings indicated in Claim 1, and

20

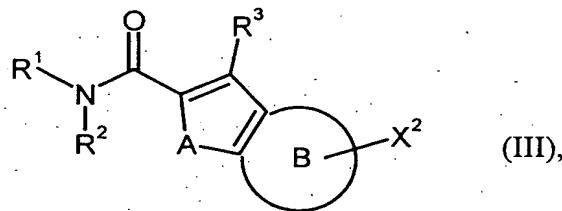
X^1 is $-B(OH)_2$ or



in the case where E is arylene or heteroarylene, and is hydrogen in the case where E is $-C\equiv C-$,

25

are reacted with a compound of the formula



in which

5 R¹, R², R³, A and the ring B have the meanings indicated in Claim 1, and

10 X² is triflate or halogen, preferably chlorine, bromine or iodine,

15 and the resulting compounds (I) are converted into their solvates, salts or solvates of the salts where appropriate with the appropriate (i) solvents and/or (ii) bases or acids.

14. Compounds according to any of Claims 1 to 11 for the treatment and/or prophylaxis of diseases.
15. Medicament comprising at least one compound according to any of Claims 1 to 11 and at least one pharmaceutically acceptable, essentially nontoxic carrier or excipient.
20. 16. Use of compounds according to any of Claims 1 to 11 for producing a composition for improving perception, concentration, learning and/or memory.
25. 17. Use of compounds according to any of Claims 1 to 11 for producing a medicament for the treatment and/or prophylaxis of impairments of perception, concentration, learning and/or memory.

18. Medicament according to Claim 15 for the treatment and/or prophylaxis of impairments of perception, concentration, learning and/or memory.